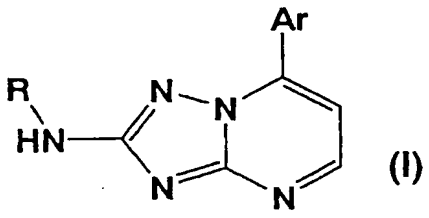
CLAIMS

1. A drug comprising as an active ingredient a substituted 2-amino-[1,2,4]triazolo[1,5-a]pyrimidine derivative represented by the general formula (I):

[Formula 1]




(I)

wherein Ar represents a phenyl group which may have a substituent or a 5- or 6-membered aromatic heterocyclic group which contains one hetero atom and may have a substituent; and

R represents a (C1-C6) alkyl group which may be substituted with a substituent selected from the substituent group consisting of a halogeno group, a cyano group, a nitro group, a hydroxyl group, a (C1-C6) alkoxyl group, a benzyloxy group, a phenyl group and a 5- or 6-membered aromatic heterocyclic group which contains one hetero atom, a (C2-C7) alkoxycarbonyl group which may be substituted with a substituent, a (C1-C13) aliphatic acyl group which may have 1 to 3 same or different substituents, an amino acid group in which the N-terminal may be protected or a 3- to 7-membered cyclic acyl group which may have 1 to 3 same or different substituents, or a pharmaceutically acceptable salt thereof.

2. The drug comprising as an active ingredient a substituted 2-amino-[1,2,4]triazolo[1,5-a]pyrimidine derivative or a pharmaceutically acceptable salt thereof according to Claim 1, wherein Ar of the general formula (I) is a phenyl group which may be substituted with 1 to 3 same or different substituents selected from the substituent group (A) consisting of a halogeno group, a hydroxyl group, a (C1-C6) alkyl group, a cyano group, a nitro group, a (C1-C6) alkoxyl group, a benzyloxy group, an amino group, a (C1-C7) acylamino group and a methylenedioxy group, or a 5- or 6-membered aromatic heterocyclic group which contains one hetero atom independently selected from N, O and S and may be substituted with 1 to 3 same or different substituents selected from the substituent group (A); and

R represents a (C1-C6) alkyl group which may be substituted with one substituent selected from the substituent group consisting of a halogeno group, a hydroxyl group, a (C1-C6) alkoxyl group, a phenyl group and a 5- or 6-membered aromatic heterocyclic group which contains one hetero atom;

a (C2-C7) alkoxycarbonyl group which may be substituted with one substituent selected from the substituent group consisting of a phenyl group, a methoxyphenyl group and a 5- or 6-membered aromatic heterocyclic group which contains one hetero atom;

a (C1-C13) aliphatic acyl group which may have 1 to 3 same or different substituents selected

from the substituent group consisting of a halogeno group, a hydroxyl group, an oxo group, a (C1-C6) alkoxyl group, a (C1-C7) acyl group, a (C1-C7) acyloxy group, a trifluoromethyl group, a trifluoromethoxy group, a cyano group, a nitro group, a (C1-C6) alkylsulfanyl group, a benzylsulfanyl group, an arylsulfanyl group, a (C1-C6) alkylsulfonyl group, an arylsulfonyl group, a (C1-C6) alkoxycarbonyl group, an amino group, a (C1-C6) alkylamino group, a di(C1-C6) alkylamino group, a (C1-C7) acylamino group, a (C1-C6) alkoxycarbonylamino group, a benzyloxycarbonylamino group, a phenyl group, wherein the phenyl group may be substituted with 1 to 3 substituents selected from the substituent group consisting of a halogeno group, a (C1-C6) alkyl group, a trifluoromethyl group, a cyano group, a nitro group, a (C1-C6) alkoxyl group, a benzyloxy group, an amino group and a di(C1-C6) alkylamino group, or a 5- or 6-membered saturated or unsaturated heterocyclic group which contains 1 to 4 hetero atoms independently selected from N, O and S and may be substituted with 1 to 3 (C1-C6) alkyl groups;

an α-amino acid group which may be protected at the N-terminal; or

a cyclic acyl group represented by Z-CO-, wherein Z represents an aromatic hydrocarbon group which may have 1 to 3 same or different substituents selected from the substituent group (B) consisting of a (C1-C6) alkyl group, a halogeno group, a hydroxyl

group, an oxo group, a trifluoromethyl group, a cyano group, a nitro group, a (C1-C6) alkoxyl group, a benzyloxy group, a (C1-C6) alkylsulfanyl group, a (C1-C6) alkoxycarbonyl group, an amino group, a di(C1-C6) alkylamino group, a (C1-C7) acylamino group and a methylenedioxy group, or a 5- or 6-membered saturated or unsaturated heterocyclic group which contains 1 to 4 hetero atoms independently selected from N, O and S and may be substituted with 1 to 3 same or different substituents selected from the substituent group (B).

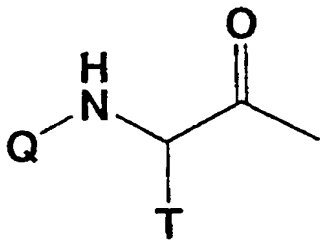
3. The drug comprising as an active ingredient a substituted 2-amino-[1,2,4]triazolo[1,5-a]pyrimidine derivative or a pharmaceutically acceptable salt thereof according to Claim 2, wherein Ar of the general formula (I) is a phenyl group which may be substituted with 1 to 3 same or different substituents selected from the substituent group consisting of a fluoro group, a chloro group, a hydroxyl group, a methoxy group, an ethoxy group, an isopropoxy group, an amino group, an acetylamino group, a propionylamino group and a methylenedioxy group, an unsubstituted thiophen-2-yl group or an unsubstituted thiophen-3-yl group; and

R is a (C2-C4) aliphatic acyl group which may have one substituent selected from the substituent group consisting of a (C1-C6) alkylsulfanyl group, an arylsulfanyl group, a (C1-C6) alkylsulfonyl group and an arylsulfonyl group, or

an α-amino acid group represented by the

formula (II):

[Formula 2]




(II)

wherein T represents a hydrogen atom, a methyl group, an ethyl group, a propyl group or an isopropyl group; Q represents a hydrogen atom, a (C1-C7) acyl group or a (C1-C6) alkoxycarbonyl group.

4. The drug comprising as an active ingredient a substituted 2-amino-[1,2,4]triazolo[1,5-a]pyrimidine derivative or a pharmaceutically acceptable salt thereof according to Claim 3, wherein Ar of the general formula (I) is a 3-methoxyphenyl group, a 4-methoxyphenyl group or a thiophen-2-yl group; and

R is a 3-methanesulfonylpropionyl group, a 3-benzenesulfonylpropionyl group, a 2-aminopropionyl group, 2-aminobutyryl group, a 2-amino-3-methylbutyryl group, a 2-acetylaminopropionyl group, a 2-acetylamino-3-methylbutyryl group, a 2-(tert-butoxycarbonyl)aminopropionyl group or a 2-(tert-butoxycarbonyl)amino-3-methylbutyryl group.

5. The drug comprising as an active ingredient a substituted 2-amino-[1,2,4]triazolo[1,5-a]pyrimidine derivative or a pharmaceutically acceptable salt thereof according to Claim 4, wherein R is an (S)-2-

aminopropionyl group, an (S)-2-aminobutyryl group, an (S)-2-amino-3-methylbutyryl group, an (S)-2-acetylaminopropionyl group, an (S)-2-acetylamino-3-methylbutyryl group, an (S)-2-(tert-butoxycarbonyl)aminopropionyl group or an (S)-2-(tert-butoxycarbonyl)amino-3-methylbutyryl group.

6. The drug according to Claim 1, wherein the substituted 2-amino-[1,2,4]triazolo[1,5-a]pyrimidine derivative represented by the general formula (I) is 3-benzenesulfonyl-N-(7-thiophen-2-yl-[1,2,4]triazolo[1,5-a]pyrimidin-2-yl)propionamide, 3-benzenesulfonyl-N-(7-(4-methoxyphenyl)-[1,2,4]triazolo[1,5-a]pyrimidin-2-yl)propionamide, (S)-2-(tert-butoxycarbonyl)amino-N-(7-thiophen-2-yl-[1,2,4]triazolo[1,5-a]pyrimidin-2-yl)butylamide, (S)-2-amino-N-(7-thiophen-2-yl-[1,2,4]triazolo[1,5-a]pyrimidin-2-yl)butylamide or (S)-2-amino-3-methyl-N-(7-thiophen-2-yl-[1,2,4]triazolo[1,5-a]pyrimidin-2-yl)butylamide.

7. An antigen presentation inhibitor comprising as an active ingredient a substituted 2-amino-[1,2,4]triazolo[1,5-a]pyrimidine derivative or a pharmaceutically acceptable salt thereof according to any one of Claims 1 to 6.

8. An immunosuppresant or an immunotolerance inducer comprising as an active ingredient a substituted 2-amino-[1,2,4]triazolo[1,5-a]pyrimidine derivative or a pharmaceutically acceptable salt thereof according to any one of Claims 1 to 6.

9. A therapeutic agent or a prophylactic agent for transplant rejection reaction or graft versus host reaction diseases comprising as an active ingredient a substituted 2-amino-[1,2,4]triazolo[1,5-a]pyrimidine derivative or a pharmaceutically acceptable salt thereof according to any one of Claims 1 to 6.

10. A therapeutic agent or a prophylactic agent for autoimmune diseases comprising as an active ingredient a substituted 2-amino-[1,2,4]triazolo[1,5-a]pyrimidine derivative or a pharmaceutically acceptable salt thereof according to any one of Claims 1 to 6.

11. A therapeutic agent or a prophylactic agent for rheumatoid arthritis, multiple sclerosis, systemic lupus erythematosus, discoid lupus erythematosus, Sjogren's syndrome, Crohn's disease, colitis ulcerosa, idiopathic thrombocytopenia, aplastic anemia, autoimmune hepatitis, insulin-dependent diabetes, myasthenia gravis, polymyositis, scleroderma, mixed connective tissue disease, ankylosing spondylitis or chronic thyroiditis comprising as an active ingredient a substituted 2-amino-[1,2,4]triazolo[1,5-a]pyrimidine derivative or a pharmaceutically acceptable salt thereof according to any one of Claims 1 to 6.

12. A therapeutic agent or a prophylactic agent for allergic diseases comprising as an active ingredient a substituted 2-amino-[1,2,4]triazolo[1,5-a]pyrimidine derivative or a pharmaceutically

acceptable salt thereof according to any one of Claims 1 to 6.

13. A therapeutic agent or a prophylactic agent for atopic dermatosis, pollinosis, contact hypersensitivity, asthma, psoriasis or anaphylaxis comprising as an active ingredient a substituted 2-amino-[1,2,4]triazolo[1,5-a]pyrimidine derivative or a pharmaceutically acceptable salt thereof according to any one of Claims 1 to 6.

14. A therapeutic agent or a prophylactic agent for inflammatory diseases comprising as an active ingredient a substituted 2-amino-[1,2,4]triazolo[1,5-a]pyrimidine derivative or a pharmaceutically acceptable salt thereof according to any one of Claims 1 to 6.

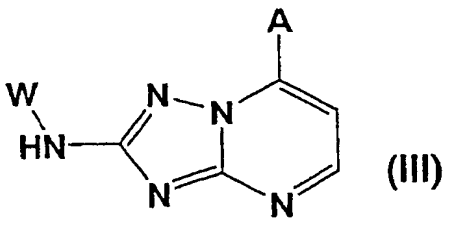
15. A therapeutic agent or a prophylactic agent for Behcet's disease, polyarteritis, sarcoidosis, glomerulonephritis, nephrotic syndrome, intractable vasculitis or Wegener's syndrome comprising as an active ingredient a substituted 2-amino-[1,2,4]triazolo[1,5-a]pyrimidine derivative or a pharmaceutically acceptable salt thereof according to any one of Claims 1 to 6.

16. A cell proliferation inhibitor antineoplastic drug comprising as an active ingredient a substituted 2-amino-[1,2,4]triazolo[1,5-a]pyrimidine derivative or a pharmaceutically acceptable salt thereof according to any one of Claims 1 to 6.

17. An antineoplastic drug comprising as an active ingredient a substituted 2-amino-[1,2,4]triazolo[1,5-a]pyrimidine derivative or a pharmaceutically acceptable salt thereof according to any one of Claims 1 to 6.

18. A substituted 2-amino-[1,2,4]triazolo[1,5-a]pyrimidine derivative represented by the general formula (III)

[Formula 3]




(III)

wherein A represents a phenyl group which may be substituted with 1 to 3 same or different substituents selected from the substituent group (A) consisting of a halogeno group, a hydroxyl group, a (C1-C6) alkyl group, a cyano group, a nitro group, a (C1-C6) alkoxyl group, a benzyloxy group, an amino group, a (C1-C7) acylamino group and a methylenedioxy group or a 5- or 6-membered aromatic heterocyclic group which contains one hetero atom and may be substituted with 1 to 3 same or different substituents selected from the substituent group (A); and

W represents a (C1-C6) alkyl group which may be substituted with one substituent selected from the substituent group consisting of a halogeno group, a

hydroxyl group, a (C1-C6) alkoxyl group, a phenyl group and a 5- or 6-membered aromatic heterocyclic group which contains one hetero atom;

a (C2-C7) alkoxycarbonyl group which may be substituted with one substituent selected from the substituent group consisting of a phenyl group, a methoxyphenyl group and a 5- or 6-membered aromatic heterocyclic group which contains one hetero atom;

a (C1-C13) aliphatic acyl group which may have 1 to 3 same or different substituents selected from the substituent group consisting of a halogeno group, a hydroxyl group, an oxo group, a (C1-C6) alkoxyl group, a (C1-C7) acyl group, a (C1-C7) acyloxy group, a trifluoromethyl group, a trifluoromethoxy group, a cyano group, a nitro group, a (C1-C6) alkylsulfanyl group, a benzylsulfanyl group, an arylsulfanyl group, a (C1-C6) alkylsulfonyl group, an arylsulfonyl group, a (C1-C6) alkoxycarbonyl group, an amino group, a (C1-C6) alkylamino group, a di(C1-C6) alkylamino group, a (C1-C7) acylamino group, a (C1-C6) alkoxycarbonylamino group, a benzyloxycarbonylamino group, a phenyl group, wherein the phenyl group may be substituted with 1 to 3 substituents selected from the substituent group consisting of a halogeno group, a (C1-C6) alkyl group, a trifluoromethyl group, a cyano group, a nitro group, a (C1-C6) alkoxyl group, a benzyloxy group, an amino group, a di(C1-C6) alkylamino group, or a 5- or 6-membered saturated or unsaturated

heterocyclic group which contains 1 to 4 hetero atoms independently selected from N, O and S and may be substituted with 1 to 3 (C1-C6) alkyl groups;

an α-amino acid group which may be protected at the N-terminal; or

a cyclic acyl group represented by Z-CO-, wherein Z represents an aromatic hydrocarbon group which may have 1 to 3 same or different substituents selected from the substituent group (B) consisting of a (C1-C6) alkyl group, a halogeno group, a hydroxyl group, an oxo group, a trifluoromethyl group, a cyano group, a nitro group, a (C1-C6) alkoxyl group, a benzyloxy group, a (C1-C6) alkylsulfanyl group, a (C1-C6) alkoxycarbonyl group, an amino group, a di(C1-C6) alkylamino group, a (C1-C7) acylamino group and a methylenedioxy group, or a 5- or 6-membered saturated or unsaturated heterocyclic group which contains 1 to 4 hetero atoms independently selected from N, O and S and may be substituted with 1 to 3 same or different substituents selected from the substituent group (B), or a pharmaceutically acceptable salt thereof.

19. A substituted 2-amino-[1,2,4]triazolo[1,5-a]pyrimidine derivative which is 3-benzenesulfonyl-N-(7-thiophen-2-yl-[1,2,4]triazolo[1,5-a]pyrimidin-2-yl)propionamide, 3-benzenesulfonyl-N-(7-(4-methoxyphenyl)-[1,2,4]triazolo[1,5-a]pyrimidin-2-yl)propionamide, (S)-2-(tert-butoxycarbonyl)amino-N-(7-thiophen-2-yl-[1,2,4]triazolo[1,5-a]pyrimidin-2-

yl)butylamide, (S)-2-amino-N-(7-thiophen-2-yl-[1,2,4]triazolo[1,5-a]pyrimidin-2-yl)butylamide or (S)-2-amino-3-methyl-N-(7-thiophen-2-yl-[1,2,4]triazolo[1,5-a]pyrimidin-2-yl)butylamide, or a pharmaceutically acceptable salt thereof.